10/552,015

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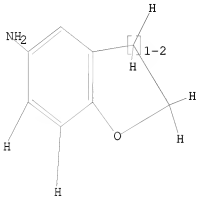


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FULL SEARCH INITIATED 11:15:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   235081 TO ITERATE

100.0% PROCESSED   235081 ITERATIONS                                5 ANSWERS
SEARCH TIME: 00.00.02

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L3           38 L2

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L4           16 L3 AND PY<2003

=> d 1-16 ibib abs hitstr
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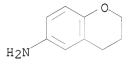
L4 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:827030 CAPLUS
DOCUMENT NUMBER: 136:177463
TITLE: 6-(4-Benzylpiperazin-1-yl)benzodioxanes as selective ligands at cloned primate dopamine D4 receptors
AUTHOR(S): Hodgetts, Kevin J.; Kieltyka, Andrzej; Brodbeck, Robbin; Tran, Jennifer N.; Wasley, Jan W. F.; Thurkauf, Andrew
CORPORATE SOURCE: Neurogen Corporation, Branford, CT, 06405, USA
SOURCE: Bioorganic & Medicinal Chemistry (2001), 9(12), 3207-3213
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:177463

AB A series of novel 6-(4-benzylpiperazin-1-yl)benzodioxanes were prepared and screened at selected dopamine receptor subtypes. 6-(4-[4-Chlorobenzyl]piperazin-1-yl)benzodioxane had high affinity and selectivity for the D4 dopamine receptor subtype and was identified as a D4 antagonist via its attenuation of dopamine-induced GTPγ35S binding at the D4 receptor.

IT 50386-54-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(benzylpiperazinyl benzodioxanes as selective ligands at cloned primate dopamine D4 receptors)
RN 50386-54-4 CAPLUS
CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)



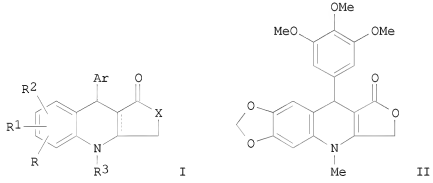
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:396489 CAPLUS
DOCUMENT NUMBER: 135:5535
TITLE: Preparation and use of derivatives of dihydrofuro[3,4-b]quinolin-1-ones as anti-tumor agents
INVENTOR(S): Husson, Henri-Philippe; Giorgi-Renault, Sylviane; Tratrat, Christophe; Atassi, Ghanem; Pierre, Alain; Renard, Pierre; Pfeiffer, Bruno
PATENT ASSIGNEE(S): Adir et Compagnie, Fr.; Les Laboratoires Servier
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 1103554	A1	20010530	EP 2000-403255	20001122 <--
EP 1103554	B1	20030312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
FR 2801310	A1	20010525	FR 1999-14771	19991124 <--
FR 2801310	B1	20040416		
MX 2000PA11240	A	20020523	MX 2000-PA11240	20001115 <--
JP 2001151756	A	20010605	JP 2000-355438	20001122 <--
JP 3566649	B2	20040915		
AT 234305	T	20030315	AT 2000-403255	20001122
US 6548515	B1	20030415	US 2000-718917	20001122
ES 2194692	T3	20031201	ES 2000-403255	20001122
NO 2000005922	A	20010525	NO 2000-5922	20001123 <--
HU 2000004704	A2	20011128	HU 2000-4704	20001123 <--
CA 2326710	A1	20010524	CA 2000-2326710	20001124 <--
CA 2326710	C	20060627		
ZA 2000006912	A	20010605	ZA 2000-6912	20001124 <--
CN 1302804	A	20010711	CN 2000-128318	20001124 <--
BR 2000005557	A	20010717	BR 2000-5557	20001124 <--
AU 781300	B2	20050512	AU 2000-71825	20001124
HK 1036983	A1	20041231	HK 2001-107838	20011108

PRIORITY APPLN. INFO.: FR 1999-14771 A 19991124
OTHER SOURCE(S): MARPAT 135:5535
GI

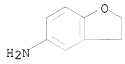


AB Compds. I, their preparation and use as anti-tumor agents are claimed [wherein; R = H, OH or alkoxy; R1, R2 = H, halo, (halo)alkyl, OH, alkoxy, amino, etc.; R3 = H, (hetero)aryl, cycloalkyl, hydroxy, alkoxy, amino, etc.; X = O, S, CH2 or CH2CH2; Ar = (hetero)aryl or arylalkyl]. Over 50 synthetic examples are provided. The process claimed is illustrated by the synthesis of II. N-Methyl-3,4-methylenedioxyaniline was reacted with 3-(3,4,5-trimethoxybenzylidene)-2,4-(3H,5H)-furandione in ethanol at reflux for 30 min to give II. Selected compds. were evaluated for cytotoxicity in L1210, A549 and HT29 cells; IC50 for II was 53, 102 and 104 nM resp. Compds. I were evaluated for in vivo antitumor activity against i.p. implanted murine P388 leukemia cells in BDF1 mice. At doses

of 50 mg/kg i.p., II prolonged survival time to 200% of control. A sample formulation is provided.

IT 42933-43-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; synthesis and use of substituted dihydrofuro[3,4-b]quinolin-1-ones as anti-tumor agents)

RN 42933-43-7 CAPLUS
CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)



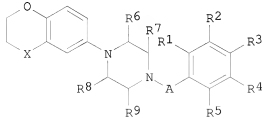
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:58596 CAPLUS
DOCUMENT NUMBER: 134:115968
TITLE: 6-(4-Arylalkylpiperazin-1-yl)benzodioxane and 6-(4-arylalkylpiperazin-1-yl)chromane derivatives useful as subtype-specific dopamine receptor ligands
INVENTOR(S): Tran, Jennifer N.; Thurkauf, Andrew
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6177566	B1	20010123	US 1999-343309	19990630 <--
US 20010005753	A1	20010628	US 2001-761048	20010116 <--
US 6333329	B2	20011225		
US 20020099056	A1	20020725	US 2001-27150	20011220 <--
US 6486164	B2	20021126		

PRIORITY APPLN. INFO.:
US 1998-91250P P 19980630
US 1999-343309 A1 19990630
US 2001-761048 A1 20010116

OTHER SOURCE(S): MARPAT 134:115968
GI



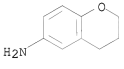
I

AB The title compds. [I; A = C1-4 alkylene optionally substituted with C1-2 alkyl; R1-R5 = H, halo, C1-6 alkyl, C1-6 alkoxy, C1-4 alkylthio, OH, amino, mono- or dialkylamino, cyano, nitro, CF3, or CF3O; R6-R9 = H, C1-6 alkyl; X = O, bond, CH2, CH2CH2, CH2O] and their pharmaceutically acceptable acid addition salts are disclosed. The compds. are useful for the treatment and/or prevention of neuropsychol. disorders including, but not limited to, schizophrenia, mania, dementia, depression, anxiety, compulsive behavior, substance abuse, Parkinson-like motor disorders, and motion disorders related to the use of neuroleptic agents. As selective ligands for dopamine D4 receptors, the compds. are expected to be relatively free of neurol. side effects. Approx. 10 salts were prepared and their free bases claimed. Thus, reaction of 1-(1,4-benzodioxan-6-yl)piperazine (preparation given) with 4-fluorobenzyl chloride in the presence of K2CO3 in MeCN afforded 34% I [X = O; A = CH2; R1 = R2 = R4 = R5 = H; R3 = F; R6-R9 = H]. This compound showed a Ki of 11 nM for D4 receptor binding, vs. Ki values of 3662 nM and >4000 nM for D3 and D2 binding, resp.

IT 50386-54-4P, 6-Aminochroman
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (arylalkylpiperazinyl)benzodioxane and (arylalkylpiperazinyl)chroman derivs. as subtype-specific dopamine receptor ligands)

RN 50386-54-4 CAPLUS

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:15203 CAPLUS

DOCUMENT NUMBER: 132:78570

TITLE: Preparation of 6-(4-arylalkylpiperazin-1-yl)benzodioxane and 6-(4-arylalkylpiperazin-1-yl)chromane derivatives as dopamine receptor subtype specific ligands

INVENTOR(S): Tran, Jennifer N.; Thurkauf, Andrew

PATENT ASSIGNEE(S): Neurogen Corporation, USA

SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000000489	A2	20000106	WO 1999-US14426	19990625 <--

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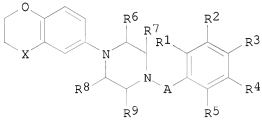
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2336089	A1	20000106	CA 1999-2336089	19990625	<--
AU 9947204	A	20000117	AU 1999-47204	19990625	<--
EP 1091949	A2	20010418	EP 1999-930727	19990625	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002519350	T	20020702	JP 2000-557250	19990625	<--

PRIORITY APPLN. INFO.: US 1998-109242 A 19980630
WO 1999-US14426 W 19990625

OTHER SOURCE(S): MARPAT 132:78570

GI



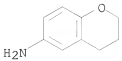
I

AB The title compds. [I; A = alkylene optionally substituted with alkyl; R1-R5 = H, halo, alkyl, etc.; R6-R9 = H, alkyl; X = O, a bond, alkylene, methyleneoxy] and their pharmaceutically acceptable acid addition salts which are useful for the treatment and/or prevention of neuropsychol. disorders including, but not limited to, schizophrenia, mania, dementia, depression, anxiety, compulsive behavior, substance abuse, Parkinson-like motor disorders and motion disorders related to the use of neuroleptic agents, were prepared Thus, reacting 1-(1,4-benzodioxan-6-yl)piperazine (preparation given) with 4-fluorobenzyl chloride in the presence of K2CO3 in MeCN afforded 34% I [X = O; A = CH2; R1 = R2 = R4 = R5 = H; R3 = F; R6-R9 = H] which showed Ki of 11 nM against D4 receptor binding vs. Ki of 3662 nM and >4000 nM against D3 and D2 binding, resp.

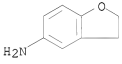
IT 50386-54-4P, 6-Aminochroman
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 6-(4-arylalkylpiperazin-1-yl)benzodioxane and 6-(4-arylalkylpiperazin-1-yl)chromane derivs. as dopamine receptor subtype specific ligands)

RN 50386-54-4 CAPLUS

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)



L4 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:427209 CAPLUS
DOCUMENT NUMBER: 125:195464
TITLE: A convenient modification of the Gassman oxindole synthesis
AUTHOR(S): Wright, Stephen W.; McClure, Lester D.; Hageman, David L.
CORPORATE SOURCE: Pfizer Central Research, Groton, CT, 06340, USA
SOURCE: Tetrahedron Letters (1996), 37(27), 4631-4634
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A modification of the Gassman oxindole synthesis is described that proceeds from anilines XC6H4NH2 (X = H, 4-MeO, 2-Me, 3-MeS, etc.) and Et (methylsulfinyl)acetate, using oxalyl chloride to activate the sulfoxide to facilitate the formation of the key N - S bonded intermediate. This procedure is particularly convenient for reactions carried out on smaller scales and for anilines that are susceptible to electrophilic halogenation.
IT 42933-43-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(Gassman oxindole synthesis from anilines and Et (methylsulfinyl)acetate)
RN 42933-43-7 CAPLUS
CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)



L4 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:777739 CAPLUS
DOCUMENT NUMBER: 123:198608
ORIGINAL REFERENCE NO.: 123:35449a,35452a
TITLE: Preparation of N-aryl-2-cyano-3-hydroxy propenamide-derivative antiinflammatory agents
INVENTOR(S): Evans, Phillip L.; Kuo, Elizabeth Anne
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 652214	A1	19950510	EP 1994-402478	19941103 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07188145	A	19950725	JP 1994-290323	19941101 <--
CA 2135044	A1	19950505	CA 1994-2135044	19941103 <--

PRIORITY APPLN. INFO.: GB 1993-22781 A 19931104
OTHER SOURCE(S): MARPAT 123:198608
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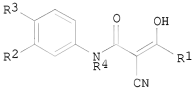
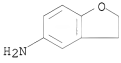


I

AB The title compds. [I; R1 = alkyl, cycloalkyl, alkenyl, alkynyl; CR2R3 = (un)substituted carbocyclic or heterocyclic ring; R4 = alkyl], useful as antiinflammatory agents, antidiabetic agents (no data), etc. (no data), are prepared and a I-containing formulation presented. Thus, N-[5-(2,3-dihydrobenzofuryl)]-2-cyano-3-cyclopropyl-3-hydroxy-2-propenamide, prepared in 4 steps from 2,3-dihydrobenzofuran, demonstrated 13% inhibition of carrageenan-induced rat-paw edema at 50 mg/kg (p.o.).

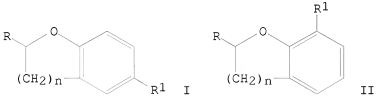
IT 42933-43-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-aryl-2-cyano-3-hydroxy propenamide-derivative antiinflammatory agents)

RN 42933-43-7 CAPLUS
CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)



L4 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1988:406388 CAPLUS
DOCUMENT NUMBER: 109:6388
ORIGINAL REFERENCE NO.: 109:1205a,1208a
TITLE: Synthesis of amino-substituted 2-methylcoumarans, chromans, benzoxepanes and their N-(alkylamino)acyl derivatives
AUTHOR(S): Dauksas, V.; Petrauskas, O.; Purvaneckas, G.
CORPORATE SOURCE: Vil'nyus. Univ., Vilnius, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1987

), (3), 320-4
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 109:6388
GI

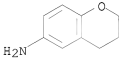


AB Nitration of 2-methylcoumarans, chromans, and benzoxepanes I and II (R = Me, R1 = H, n = 1; R = R1 = H, n = 2,3) gave mixts. of nitro derivs. I and II (R1 = NO2) which were reduced by Fe-Cu in EtOH to give the corresponding amines I and II (R1 = NH2). Acylation of the amines by Me(CH2)3CHBrCOCl gave I and II [R1 = NHCOCHBr(CH2)3Me] which could be aminated by MeNH2 or Et2NH to give I and II [R1 = NHCOCH(NHMe)(CH2)3Me, NHCOCH(NEt2)(CH2)3Me].

IT 50386-54-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of)

RN 50386-54-4 CAPLUS

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)



L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1983:71912 CAPLUS
DOCUMENT NUMBER: 98:71912
ORIGINAL REFERENCE NO.: 98:11003a,11006a
TITLE: Benzofuran derivatives and their use
INVENTOR(S): Schroeder, Eberhard; Lehmann, Manfred; Rufer, Clemens; Boettcher, Irmgard
PATENT ASSIGNEE(S): Schering A.-G. , Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 59884	A1	19820915	EP 1982-101418		19820225 <--
EP 59884	B1	19850522			
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE					
DE 3110009	A1	19820930	DE 1981-3110009		19810311 <--
AT 13429	T	19850615	AT 1982-101418		19820225 <--
JP 57203079	A	19821213	JP 1982-37308		19820311 <--
JP 03008350	B	19910205			
US 4411910	A	19831025	US 1982-357344		19820311 <--
PRIORITY APPLN. INFO.:			DE 1981-3110009	A	19810311
			EP 1982-101418	A	19820225

OTHER SOURCE(S): CASREACT 98:71912; MARPAT 98:71912

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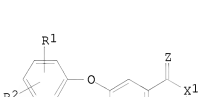

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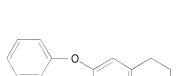


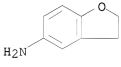
II

AB Benzofurans I (R = H, Ac; R1, R2 = H, F, Cl; X = O, CH2; X1 = CH2, O; Z = O, H2), useful as inflammation inhibitors, analgesics, antipyretics, diuretics, thrombocyte aggregation inhibitors, anti-ulcer agents, tumor inhibitors, and in treatment of dysmenorrhea and migraine (no data), were prepared Thus, 2,3-dihydrobenzo[b]furan-5-amine was converted in 7 steps by known methods into methanesulfonamide II.

IT 42933-43-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-acetylation of)

RN 42933-43-7 CAPLUS

CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)



L4 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1983:16571 CAPLUS

DOCUMENT NUMBER: 98:16571

ORIGINAL REFERENCE NO.: 98:2683a,2686a

TITLE: Acetophenetidine analogs

INVENTOR(S): Blade Font, Arturo; De Mass Rocabayera, Teodoro; Palop Palop, Daniel; Escartin Tomas, Pilar

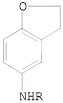
PATENT ASSIGNEE(S): Laboratorios Frumtost-Prem S. A., Spain

SOURCE: Span., 16 pp.

CODEN: SPXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Spanish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

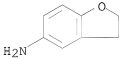
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 504326	A1	19820601	ES 1981-504326	19810728 <--

PRIORITY APPLN. INFO.: ES 1981-504326 19810728
GI



NHR I

AB Acylaminobenzofurans I (R = acyl) were prepared Thus 2,5-HO(AcNH)C6H3CH2NEt2.MeI was treated with 450% excess CH2N2 to give 39% I (R = Ac) which at 25 mg/kg gave 30.66% inhibition of HOAc-induced writhing in mice.
IT 42933-43-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of)
RN 42933-43-7 CAPLUS
CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)



L4 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1982:16951 CAPLUS
DOCUMENT NUMBER: 96:16951
ORIGINAL REFERENCE NO.: 96:2827a,2830a
TITLE: Reagents for detection of urobilinogen in body fluids
PATENT ASSIGNEE(S): Eiken Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 56118670	A	19810917	JP 1980-21692	19800225 <--
JP 63048311	B	19880928		

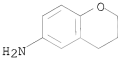
PRIORITY APPLN. INFO.: JP 1980-21692 A 19800225

AB Compns. containing phenyldiazonium salts (2,3-dihydroxybenzofuran-5-diazonium tetrafluoroborate, 2,3-dihydroxybenzothiophene-5-diazonium tetrafluoroborate, 1,4-benzodioxane-6-diazonium tetrafluoroborate, 2,3-dihydroxybenzofuran-7-diazonium tetrafluoroborate, 1-acetyl-2,3-dihydroindole-5-diazonium sulfate) and organic acids and(or) inorg. acids are reagents for the detection of urobilinogens in body fluids. As an example, filter papers (Whatman 3MM) were immersed in a solution containing 2,3-dihydroxybenzofuran-5-diazonium tetrafluoroborate, oxalic acid, Na laurylsulfate, MeOH and distilled H2O, and dried at 40°. Development of a pink color is indicative of pos. results. Detection limits were .apprx.0.4 mg/dL.

IT 50386-54-4
RL: ANST (Analytical study)
(diazotization and reaction of, with sodium dodecylbenzenesulfonate)

RN 50386-54-4 CAPLUS

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)



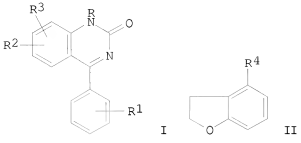
L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1977:5484 CAPLUS
DOCUMENT NUMBER: 86:5484
ORIGINAL REFERENCE NO.: 86:951a,954a
TITLE: Tricyclic furoquinazolinones
INVENTOR(S): Cooke, George A.; Houlihan, William J.
PATENT ASSIGNEE(S): Sandoz-Wander, Inc., USA
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

US 3963717	A	19760615	US 1975-556574	19750310 <--

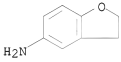
PRIORITY APPLN. INFO.: US 1975-556574 A 19750310

GI

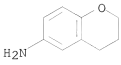


I II

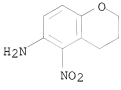
AB Antiinflammatory and analgesic (no data) furoquinazolinones I (R = CHMe2, cyclopropylmethyl, cyclopentylmethyl, CMe3, CH2CMe:CH2, Et; R1 = H, 4-F, 4-CF3, 3-OMe; R2R3 = 7,8-OCH2CH2, 6,7-OCH2CH2, 5,6-CH2CH2O, 6,7-CH2CH2O, 5,6-OCH2CH2, 7,8-CH2CH2O) (38 compds.) were prepared Thus the benzofuranamine II (R4 = NH2) was treated with Me2CHI, II (R4 = NHCHMe2) treated with NaNCO, II [R4 = N(CHMe2)CONH2] condensed with PhCHO and oxidized with KMnO4 to give I (R = CHMe2, R1 = H, R2R3 = 7,8-OCH2CH2).
IT 42933-43-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with isopropyl iodide)
RN 42933-43-7 CAPLUS
CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)



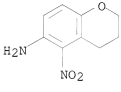
L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1973:526238 CAPLUS
DOCUMENT NUMBER: 79:126238
ORIGINAL REFERENCE NO.: 79:20487a,20490a
TITLE: Nitration of substituted chromans
AUTHOR(S): Brancaccio, G.; Lettieri, G.; Viterbo, R.
CORPORATE SOURCE: Res. Lab., Richardson-Merrell S.p.A., Naples, Italy
SOURCE: Journal of Heterocyclic Chemistry (1973), 10(4), 623-9
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The nitration of Cl-, AcNH-, Me-, and NO2-substituted chromans was studied and the structure of the nitro compds. confirmed by chemical and spectral data.
IT 50386-54-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(Sandmeyer chlorination of)
RN 50386-54-4 CAPLUS
CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)



IT 50386-66-8P 50603-85-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 50386-66-8 CAPLUS
CN 2H-1-Benzopyran-6-amine, 3,4-dihydro-5-nitro- (CA INDEX NAME)



RN 50603-85-5 CAPLUS
CN 2H-1-Benzopyran-6-amine, 3,4-dihydro-5-nitro-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

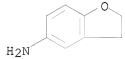
L4 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1973:418859 CAPLUS
DOCUMENT NUMBER: 79:18859
ORIGINAL REFERENCE NO.: 79:3035a,3038a
TITLE: Natural and synthetic materials with insect hormone activity. XVI. Synthesis of N-geranylaniline-containing oxygen heterocyclics
AUTHOR(S): Kahovcova, Jitka; Arnold, Zdenek; Sorm, Frantisek
CORPORATE SOURCE: Cesk. Akad. Ved, Prague, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (1973), 38(4), 1165-7
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The reaction of 4-amino-1,2-methylenedioxybenzene with geranyl bromide in DMF in the presence of anhydrous K2CO3 at 70° gave 4-(3,7-dimethyl-2,6-octadienylamino)-1,2-methylenedioxybenzene (I) and

4-[bis(3,7-dimethyl-2,6-octadienyl)amino]-1,2-methylenedioxybenzene. Similar reactions were performed with 5-amino-2,3-dihydrobenzofuran, 5-aminobenzofuran-2-carboxylic acid, 5-amino-benzo-1,3-dioxane, and 5-aminobenzo-1,4-dioxane. From I, 4-(6,7-epoxy-3,7-dimethyl-2-octenylamino)-1,2-methylenedioxybenzene and 4-(3,7-dimethyloctylamino)-1,2-methylenedioxybenzene were also prepared

IT 42933-43-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with geranylbromide)

RN 42933-43-7 CAPLUS

CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)



L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1966:4088 CAPLUS

DOCUMENT NUMBER: 64:4088

ORIGINAL REFERENCE NO.: 64:707e-h,708a

TITLE: Amines

PATENT ASSIGNEE(S): F. Hoffmann-La Roche & Co., A.-G.

SOURCE: 9 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6414649		19650621	NL 1964-14649	19641216 <--
BE 657234			BE	
FR 1417774			FR	
GB 1043486			GB	
PRIORITY APPLN. INFO.:			CH	19631220

GI For diagram(s), see printed CA Issue.

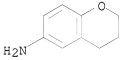
AB Amines with the general formula I, where n is 0-3, R1, R2, and R3 are H or Me, R4 is an alkyl group, and R5 is H or an alkyl group, can be prepared from an aminophenol with the general formula II, where R4' is H or an alkyl group, and R5' is H, acyl, or an alkyl group, and alcohols of the general formulas CH2:CHC(CH3)(OH)[CH2CH2CH2CH(CH3)]CH3 or HOCH2CH:C(CH3)nCH2CH2CH2CH(CH3)nCH3 or their esters. Thus, to a mixture of 11. freshly distilled formic acid (99%) and 120 g. 2,3,5-trimethyl-4-formylaminophenol, 200 g. isophytol was added. With addition of N2 and refluxing, mixture was stirred for 22 hrs. at 135°. After cooling mixture was poured on 2 kg. ice and a brown oil formed. Yield was 130 g. α-tocopheramine, b0.01 200-3°, absorption maximum at 300 mμ (E11 85), which was acylated and then reduced to give N-ethyl-γ-tocopheramine, a light yellow oil, b0.01 211-14°, uv absorption maximum at 299 mμ (E11 52), n24.5D 1.5086. Similarly obtained, starting with 2,3-dimethyl-4-formylaminophenol, was N-ethyl-γ-tocopheramine, b0.05 195-7°, uv absorption maximum

at 238 and 305 mμ (E11 195 and 69), n22.5D 1.5083. In 9 g. dry formic acid, 10 g. α-tocopheramine and 6 g. of a 40% formaldehyde solution were heated for 16 hrs. to boiling. Yield was N,N-dimethyl-γ-tocopheramine, b0.02, 200-5°, n23D 1.5015. Similarly obtained, starting with δ-tocopheramine, was N,N-dimethyl-δ-tocopheramine, b0.007 183-8°, n19D 1.5080, absorption maximum at 244 and 304 mμ (E11 268 and 58). In 1 l. dry formic acid 174 g. N-formyl-2,3-dimethyl-4-aminophenol was dissolved under N2, 220 g. isophytol was added, and the mixture refluxed for 22 hrs. after which it was poured on 2 kg. ice. Yield was N-formyl-γtocopheramine, b0.01 233°, n24.5D 1.5158, which was reduced to yield N-methyl-γ-tocopheramine, a light yellow oil, b. 190-5°, n22D 1.5083, absorption maximum at 306 mμ (E11 74). Similarly obtained, starting with N-formyl-δ-tocopheramine, was N-methyl-δ-tocopheramine, b0.005 189-90°, n22.5D 1.5106, uv absorption maximum at 242 and 309 mμ (E11 225 and 66). Also obtained starting with N-formyl-β-tocopheramine, was N-methyl-β-tocopheramine, b0.03 207-10°, n21D 1.5088, absorption maximum at 234 and 300 mμ (E11 182 and 77). The compds. are useful as anti-oxidants.

IT 50386-54-4, 6-Chromanamine
(derivs.)

RN 50386-54-4 CAPLUS

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)



L4 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1961:18014 CAPLUS

DOCUMENT NUMBER: 55:18014

ORIGINAL REFERENCE NO.: 55:3618h-i,3619a

TITLE: Aminochroman derivatives

INVENTOR(S): Hach, V.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
CS 91157		19590715	CS		<--

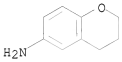
AB Chroman (20 g.) treated with 100 ml. 60% HNO3 at 15-25° and the mixture (after 10 min. at room temperature) diluted with 100 g. ice and 400 ml. H2O gave 9.5 g. 6-nitrochroman (I), m. 102-3° (EtOH). I (9 g.) was hydrogenated in 100 ml. 96% EtOH over 1 g. Raney Ni at room temperature and normal pressure. Filtration and evaporation gave a quant. yield of 6-aminochroman (II), m. 74° (petr. ether). II (12 g.) in 50 ml. AcOH was cooled to 10° and treated with 12 g. ClCH2COCl. The mixture, diluted with 50 g. AcONa in 150 ml. H2O and filtered, gave 15 g. 6-chloroacetamidochroman (III), m. 125°. Reaction of III with

Et2NH gave 90-95% 6-diethylaminoacetamidochroman (IV); HCl salt m. 163°; ethobromide m. 188°. Similarly, III and piperidine gave 6-piperidinoacetamidochroman (V); HCl salt m. 225°. Salts of IV and V were local anesthetic and hypotensive agents.

IT 50386-54-4P, 6-Chromanamine
RL: PREP (Preparation)
(preparation of)

RN 50386-54-4 CAPLUS

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)



L4 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1960:11424 CAPLUS

DOCUMENT NUMBER: 54:11424

ORIGINAL REFERENCE NO.: 54:2322f-i,2323a-b

TITLE: Local anesthetics. XI. Simple chroman derivatives

AUTHOR(S): Hach, V.

CORPORATE SOURCE: Leciva, Dolni Mecholupy, Prague

SOURCE: Collection of Czechoslovak Chemical Communications (1959), 24, 3136-40
CODEN: CCCCAK; ISSN: 0010-0765

DOCUMENT TYPE: Journal

LANGUAGE: German

AB cf. C.A. 52, 4652e. 6-(Diethylaminoacetylamino)chroman (I), 6-(piperidinoacetylamino)chroman (II), and 6-(β-piperidinopropionyl)chroman (III) were prepared as cyclic analogs of p-alkoxy-substituted dialkylaminoacylanilides (IV) and of fallicain (V), resp., and tested in the form of the HCl salts as surface and infiltration anesthetics; their activity, however, was lower than that of IV and V. Introducing 3 hrs. at 0° HBr (prepared from 300 g. Br in H) into 20 g. o-CH2:CHCH2C6H4OAc, 100 ml. CCl4 (dried over P2O5), and 2 g. Bz2O2, keeping the mixture overnight, evaporating the solvent, adding 150 ml. 10% NaOH, extracting the mixture with Et2O, evaporating the exts., adding 10 g. NaOH, 50 ml. H2O, and 100 ml. EtOH to the oily residue, boiling the mixture 2.5 hrs., diluting with H2O, extracting with Et2O, evaporating, and distilling gave chroman (VI), b24-27 100-105°, n20D 1.5480. Adding dropwise and with vigorous agitation in 12 min. at 15-25° 20 g. VI to 100 ml. 60% HNO3 gave a blue-green mixture which was kept 10 min. at 20° and then poured into 100 g. ice and 400 ml. H2O; an oily precipitate separated which on addition of 10-15 ml. EtOH gave 9.5 g. yellow powder of 6-nitrochroman (VII), m. 104° (EtOH). Hydrogenating 1 hr. 9 g. VII, 100 ml. 96% EtOH, and 1 g. Raney Ni at 20° and atmospheric pressure, filtering off the catalyst, and evaporating gave 6-aminochroman (VIII), m. 74° (petr. ether); picrate m. 203° (EtOH); N-Ac derivative (IX) m. 118° (EtOH). Adding in one portion at 10° 12 g. ClCH2COCl to 12 g. VIII in 50 ml. AcOH and

pouring the mixture after 1 min. into 50 g. NaOAc in 150 ml. H2O gave 15 g. 6-(chloroacetylamino)chroman (X), m. 125° (EtOH). Treating as usual (C.A. 49, 979e) Et2NH in C6H6 with X gave 90-95% I, b0.3 180-5°, m. 63° (petr. ether); HCl salt (prepared in Et2O solution) m. 163° (EtOH); picrate m. 201° (EtOH); ethobromide (prepared in acetone solution) m. 188° (EtOH-Et2O). Similarly was prepared II, b0.5 190-5°; HCl salt m. 225° (EtOH); picrate m. 217° (EtOH). 6-Acetylchroman (XI) was prepared according to Chatelus (C.A. 44, 1975c), m. 43° (petr. ether); oxime (XII) m. 88° (EtOH); thiosemicarbazone m. 219° (EtOH). Heating exactly 7.5 min. at 100-10° 2.5 g. XII, 20 ml. 85% H3PO4, and 35 g. P2O5, pouring the mixture onto ice, extracting with Et2O, and evaporating the exts. gave 1.6 g. IX.

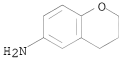
Heating 8 hrs. on a steam-bath 8.8 g. XI, 11.1 g. piperidine HCl salt, 8 g. (HCHO)x, and 150 ml. absolute EtOH, keeping the mixture 48 hrs. at 5°, filtering off the precipitate, and washing with 25 ml. EtOH gave 10.3 g. III HCl

salt, m. 202° (EtOH).

IT 50386-54-4P, 6-Chromanamine 101093-09-8P,
6-Chromanamine, picrate
RL: PREP (Preparation)
(preparation of)

RN 50386-54-4 CAPLUS

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)

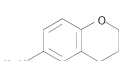


RN 101093-09-8 CAPLUS

CN 6-Chromanamine, picrate (6CI) (CA INDEX NAME)

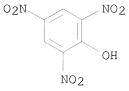
CM 1

CRN 50386-54-4
CMF C9 H11 N O



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7


O2N
NO2
OH
NO2